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Franz [AT/AT]; Siebenbrunnengasse 3/2/5, A-1050 Vienna (AT).

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(74) Agent: GRUBB, Philip; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).

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(71) Applicant (*for all designated States except AT, US*): NOVARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

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(71) Applicant (*for AT only*): NOVARTIS PHARMA GMBH [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).

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(72) Inventor; and

(75) Inventor/Applicant (*for US only*): HAMMERSCHMID,

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: SCREENING ASSAY FOR IDENTIFYING AGENTS THAT MODULATE PAK KINASE OR CD45 TYROSINE PHOSPHATASE ACTIVITY

(57) Abstract: Screening assays for identifying an agent that modulates the activity of a phosphate catalyzing enzyme, i.e., a PAK Kinase or a CD45 tyrosine Kinase, comprising the following steps: a) providing a phosphate catalyzing enzyme, b) providing a peptide, c) optionally providing a phosphate containing donor, d) providing a candidate compound, e) contacting the enzyme of step a) with a peptide of step b) and optionally the phosphate containing donor of step c) in the absence of d) and in the presence of d) for a predetermined period of time so that an enzymatic product can be formed, f) transferring at least an aliquot of the enzymatic product formed in step e) to a solid phase which is capable to bind to the peptide in the enzymatic product, g) detecting the enzymatic product bound to the solid phase, h) determining whether there is a difference in the amount of enzymatic product in the absence and in the presence of a candidate compound in step e), and i) choosing an agent that modulated the activity of an enzyme, which method is characterized in that I) the enzyme is a PAK kinase, - the peptide comprises the amino acid sequence S-S-L-R-A-S-T, - the phosphate containing donor of step c) is present in step e), and - the enzymatic product detected in step g) is the amount of phosphoserine and/or phosphothreonine in the bound peptide; OR II) the enzyme is CD45 tyrosine phosphatase, - the peptide comprises the amino acid sequence R-N-Q-E-T-Y-E-T-L-K-H or A-E-N-T-I-T-Y-S-L-L-M-H-P, wherein Y is phosphorylated tyrosine, - the phosphate containing donor of step c) is absent in step e), and - the enzymatic product detected in step g) is the amount of phosphotyrosine in the bound peptide.

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/10048

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C12Q1/42 C12Q1/48

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C12Q C07K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, MEDLINE, BIOSIS, COMPENDEX, INSPEC, PAJ, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 01/25477 A (CAMBRIDGE DRUG DISCOVERY LTD; FREARSON JULIE ANN (GB)) 12 April 2001 (2001-04-12) claims 1-18	1-4,6-9
Y	US 6 248 549 B1 (QUEEN'S UNIVERSITY AT KINGSTON) 19 June 2001 (2001-06-19) column 24, line 57 - column 25, line 5; claims 1-8	1-4,6-9
Y	US 2002/045564 A1 (COTE GRAHAM P ET AL) 18 April 2002 (2002-04-18) page 2, paragraph 14 - page 6, paragraph 91	1-4,6-9
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

*** Special categories of cited documents :**

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
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- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

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- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
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- *&* document member of the same patent family

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Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax (+31-70) 340-3016

Authorized officer

Stachowiak, O

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International Application No

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 6 040 149 A (KOLESNICK RICHARD N ET AL) 21 March 2000 (2000-03-21) column 59; claims 1-3; figures 1-21; example 7	1-4,6-9
Y	US 6 228 989 B1 (TUAZON POLYGENA T ET AL) 8 May 2001 (2001-05-08) column 9, line 36 - column 10, line 31	1-4,6-9
A	WO 99/29894 A (EPPS DENNIS E ;UPJOHN CO (US); MARSCHKE CHARLES K (US)) 17 June 1999 (1999-06-17) the whole document	
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P,X	CA 2 387 406 A (SMITHKLINE BEECHAM CORP) 30 November 2002 (2002-11-30) abstract; claims 1-59	1-4,6-9

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 03/10048

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

see annex

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-2 (all partly), 3-4, 6-9 (all completely)

Methods, kits and uses for identifying an agent that modulates the activity of a PAK kinase, wherein the substrate peptide comprises the sequence 'SSLRAST'.

2. claims: 1-2 (all partly), 5 (completely)

Methods and kits for identifying an agent that modulates the activity of a CD 45 tyrosine phosphatase, wherein the substrate peptide comprises the sequence 'RNQETYETLKH' or 'AENTITYSLLMHP'.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/10048

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